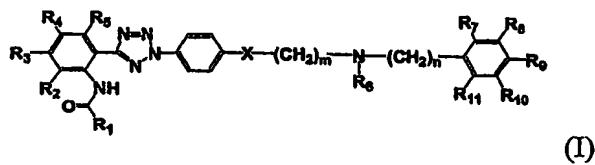


WHAT IS CLAIMED IS:

1. A compound of formula (I) or a pharmaceutically acceptable salt thereof:



5

wherein,

R<sub>1</sub> is aryl, heteroaryl, acrylaryl, acrylheteroaryl, heterocycloalkenyl, or carbocyclo, which is optionally substituted with one or more substituents selected from the group consisting of C<sub>1-5</sub> alkyl, hydroxy, C<sub>1-5</sub> alkoxy, halogen, trifluoromethyl, nitro and amino;

R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>11</sub> are each independently hydrogen, hydroxy, halogen, nitro, C<sub>1-5</sub> alkyl or alkoxy, R<sub>6</sub> and R<sub>11</sub> being optionally fused together to form a 4 to 8-membered ring;

m and n are each independently an integer ranging from 0 to 4; and  
X is CH<sub>2</sub>, O or S.

2. The compound of claim 1, wherein R<sub>1</sub> is unsubstituted or substituted phenyl, pyridine, pyrazine, quinoline, isoquinoline, quinazoline, quinoxaline, pyrazole, imidazole, triazole, oxazole, thiazole, oxadiazole, thiadiazole, benzthiazole, benzoxazole, chromone, quinolone, cinnamic or quinoline acyl.

3. The compound of claim 2, which is selected from the group consisting of:  
quinoline-3-carboxylic acid  
25 [2-(2-4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
quinoline-2-carboxylic acid

[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tet  
azol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
isoquinoline-3-carboxylic acid

[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tet  
5 azol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
quinoline-8-carboxylic acid

[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tet  
azol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
isoquinoline-1-carboxylic acid

10 [2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-t  
etrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
quinoline-4-carboxylic acid

[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-t  
etrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;

15 4-methoxy-quinoline-2-carboxylic acid

[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-t  
etrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
quinoxaline-2-carboxylic acid

[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-t  
etrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;

20 pyridine-2-carboxylic acid

[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-t  
etrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
N-[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phe  
nyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-nicotinamide;

25 N-[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2  
H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-isonicotinamide;  
pyraazine-2-carboxylic acid

[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-t  
etrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;

N-[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-benzamide;  
 naphthalene-2-carboxylic acid  
 [2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
 5 N-[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-2-fluoro-benzamide;  
 N-[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-3-fluoro-benzamide;  
 10 N-[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-4-fluoro-benzamide;  
 N-[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-3,4-difluoro-benzamide;  
 thiophene-3-carboxylic acid  
 15 [2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
 furan-3-carboxylic acid  
 [2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
 20 4-oxo-4H-chromene-2-carboxylic acid  
 [2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
 6-methyl-4-oxo-4H-chromene-2-carboxylic acid  
 [2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
 25 5-hydroxy-4-oxo-4H-chromene-2-carboxylic acid  
 [2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
 5-methoxy-4-oxo-4H-chromene-2-carboxylic acid  
 30 [2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-t

etrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
     6-fluoro-4-oxo-4H-chromene-2-carboxylic acid  
     [2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;

5         6-bromo-4-oxo-4H-chromene-2-carboxylic acid  
     [2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
         cinoline-4-carboxylic acid  
     [2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;

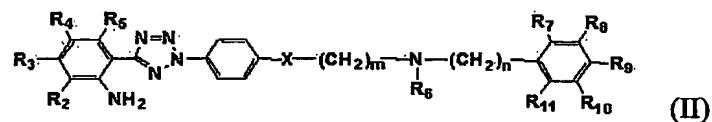
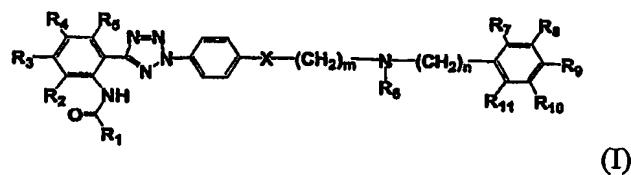
10         4-oxo-4H-chromene-3-carboxylic acid  
     [2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;  
         quinoline-3-carboxylic acid  
     [2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-difluoro-phenyl]-amide;  
         quinoline-3-carboxylic acid  
     [2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-amide;

15         quinoline-3-carboxylic acid  
     2-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl-ethyl)-2H-tetrazol-5-yl]-4,5-dimethoxy-phenyl-amide;  
         N-[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-3-phenyl-acrylamide;

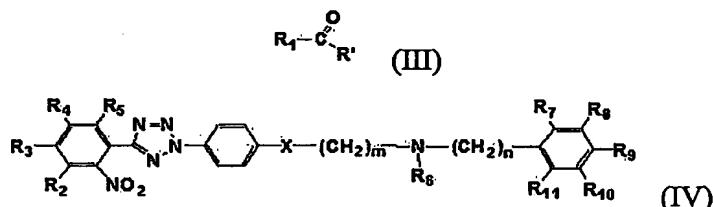
20         2-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl-ethyl)-2H-tetrazol-5-yl]-4,5-dimethoxy-phenyl-amide;  
         N-[2-(2-{4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenyl}-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-3-quinolin-3-yl-acrylamide; and  
         4-oxo-4H-chromene-2-carboxylic acid  
     (2-{2-[4-(2-{[2-(3,4-dimethoxy-phenyl)-ethyl]-methyl-amino}-ethyl)-phenyl]-2H-tetrazol-5-yl)-4,5-dimethoxy-phenyl]-amide.

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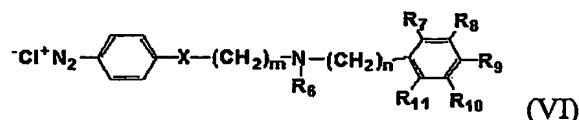
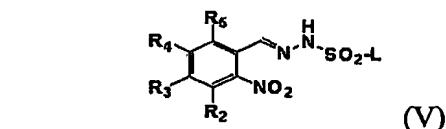
4. A process for preparing a compound of formula (I), which comprises the steps of: (i) cyclizing a compound of formula (V) with a compound of formula (VI) in the presence of a base to obtain a compound of formula (IV); (ii) hydrogenating the compound of formula (IV) in the presence of a catalyst to obtain a compound of formula (II); and (iii) acylating the compound of formula (II) with a compound of formula (III) in the presence of a base or a condensing agent:



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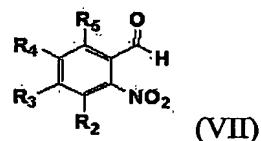
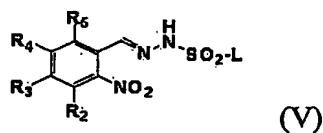
wherein,

R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub>, m, n and X have the same meanings as defined in claim 1;

R' is OH, Cl or Br; and

5 L is benzyl or tolyl.

5. The process of claim 4, wherein the compound of formula (V) is prepared by reacting a compound of formula (VII) with toluenesulfonyl chloride or benzenesulfonyl chloride:

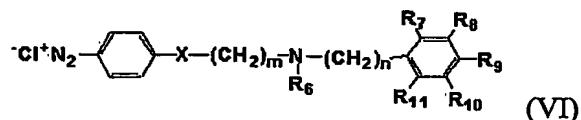


wherein,

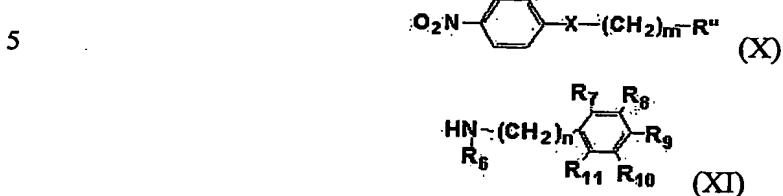
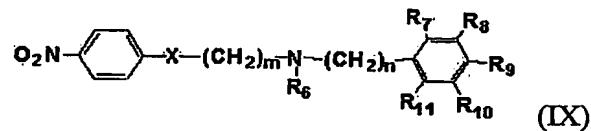
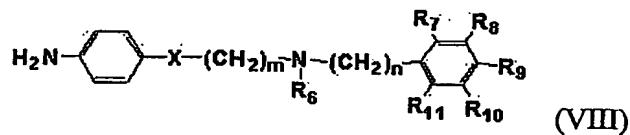
R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and L have the meanings as defined in claim 4.

15

6. The process of claim 4, wherein the compound of formula (VI) is prepared by reacting a compound of formula (X) with a compound of formula (XI) in the presence of a base, to obtain a compound of formula (IX); hydrogenating the compound of formula (IX) in the presence of a catalyst, to obtain a compound of formula (VIII); and reacting the compound of formula (VIII) with sodium nitrite and HCl:



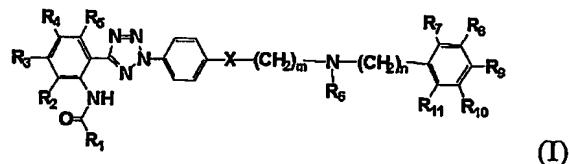
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wherein,

R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub>, m, n and X have the same meanings as defined in  
10 claim 4; and  
R'' is OH, Cl or Br.

15 7. A pharmaceutical composition for inhibiting the activity of p-glycoprotein comprising a compound of formula (I) or a pharmaceutically acceptable salt thereof as an active ingredient, together with a pharmaceutically acceptable carrier:



wherein,

20 R<sub>1</sub> is aryl, heteroaryl, acrylaryl, acrylheteroaryl, heterocycloalkenyl, or

carbocyclo, which is optionally substituted with one or more substituents selected from the group consisting of C<sub>1-5</sub> alkyl, hydroxy, C<sub>1-5</sub> alkoxy, halogen, trifluoromethyl, nitro and amino;

R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>11</sub> are each independently hydrogen, hydroxy, halogen, nitro, C<sub>1-5</sub> alkyl or alkoxy, R<sub>6</sub> and R<sub>11</sub> being optionally fused together to form a 4 to 8-membered ring;

m and n are each independently an integer ranging from 0 to 4; and  
X is CH<sub>2</sub>, O or S.

10 8. The composition of claim 7, which further comprises an anticancer agent.

9. The composition of claim 8, wherein the anticancer agent is selected from the group consisting of paclitaxel, docetaxel, vincristine, vinblastine, vinorelbine, daunomycin, doxorubicin, topotecan, irinotecan, actinomycin and etoposide.